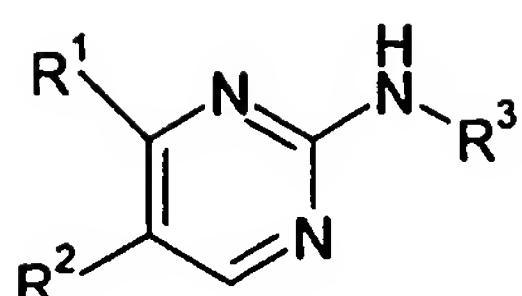


## CLAIMS

## 1. A compound of formula (I)

5



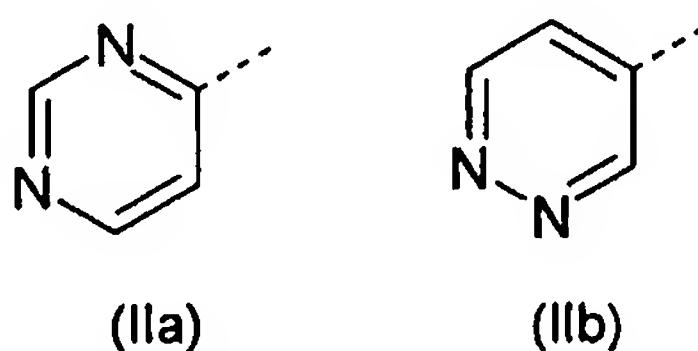
(I)

wherein

10  $R^1$  represents a monocyclic or polycyclic, aryl or heteroaryl group optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano,  $-NR'R''$ ,  $-CO_2R'$ ,  $-C(O)-NR'R''$ ,  $-N(R''')C(O)-R'$ ,  $-N(R''')-C(O)NR'R''$ , wherein  $R'$ ,  $R''$  and  $R'''$  each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or  $R'$  and  $R''$  together with the atom to which they are attached form a cyclic group;

15  $R^2$  represents a monocyclic N-containing heteroaryl group selected from the groups of formulae (IIa) or (IIb):

20  $R^2$  represents a monocyclic N-containing heteroaryl group selected from the groups of formulae (IIa) or (IIb):



(IIa)

(IIb)

25

the groups of formula (IIa) and (IIb) being optionally substituted by one, two or three substituents selected from group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano,  $-NR'R''$ ,  $-CO_2R'$ ,  $-C(O)-NR'R''$ ,  $-N(R''')C(O)-R'$ ,  $-N(R''')-C(O)NR'R''$ , wherein

30

R', R" and R'" each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R" together with the atom to which they are attached form a cyclic group.

- 5 R<sup>3</sup> represents a monocyclic or polycyclic, heteroaryl group being optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R", -CO<sub>2</sub>R', -C(O)-NR'R", -N(R'')C(O)-R', -N(R'')-C(O)NR'R", wherein R', R" and R'" each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R" together with the atom to which they are attached form a cyclic group.
- 10 15 or an N-oxide or a pharmaceutically acceptable salt thereof;
2. A compound according to claim 1 wherein R<sup>3</sup> represents a either a monocyclic or polycyclic heteroaryl group comprising a nitrogen-containing six-membered ring or a monocyclic five-membered heteroaryl group not containing nitrogen in the ring structure, the heteroaryl groups being optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R", -CO<sub>2</sub>R', -C(O)-NR'R", -N(R'')C(O)-R', -N(R'')-C(O)NR'R", wherein R', R" and R'" each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R" together with the atom to which they are attached form a cyclic group.
- 20 25 30 35 3. A compound according to claim 2 wherein R<sup>3</sup> represents a monocyclic or polycyclic heteroaryl group comprising a nitrogen-containing six-membered ring, the heteroaryl groups being optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R", -CO<sub>2</sub>R', -C(O)-NR'R", -N(R'')C(O)-R', -N(R'')-C(O)NR'R", wherein R', R" and R'" each

independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R" together with the atom to which they are attached form a cyclic group.

- 5 4. A compound according to any one of the preceding claims wherein R<sup>3</sup> is selected from the group consisting of pyridine, pyrimidine, pyridazine, isoquinoline, quinoline, naphthyridine, pyridine-2(1H)-one, furan and thiophene all of them optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO<sub>2</sub>R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R" together with the atom to which they are attached form a cyclic group.
- 10 5. A compound according to claim 4 wherein R<sup>3</sup> is selected from the group consisting of pyridine and pyridine-2(1H)-one, all of them optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO<sub>2</sub>R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R" together with the atom to which they are attached form a cyclic group.
- 15 20 6. A compound according to any one of claims 1 to 4 wherein R<sup>3</sup> is selected from the group consisting of pyridine, pyrimidine, pyridazine, isoquinoline, quinoline, naphthyridine and pyridine-2(1H)-one, all of them optionally substituted by a substituent selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, oxo, straight or branched, optionally substituted lower alkoxy, straight or branched optionally substituted lower alkylthio and cyano groups.
- 25 30

7. A compound according to any one of the preceding claims wherein R<sup>3</sup> is selected from the group consisting of pyridine and pyridine-2(1H)-one, all of them optionally substituted by a substituent selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, oxo, straight or branched, 5 optionally substituted lower alkoxy, straight or branched optionally substituted lower alkylthio and cyano groups.
8. A compound according to any one of the preceding claims wherein R<sup>1</sup> represents a group selected from phenyl, furan-2-yl, furan-3-yl, thien-2-yl, thien-3-yl, pyridin-2-yl, 10 pyridin-3-yl and pyridin-4-yl all of them optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO<sub>2</sub>R', -C(O)-NR'R'', -N(R'')C(O)-R', -N(R'')- 15 C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.
9. A compound according to claim 8 wherein R<sup>1</sup> represents a group selected from 20 phenyl, furan-2-yl, furan-3-yl and thien-2-yl, all of them optionally substituted by an halogen atom.
10. A compound according to claim 9 wherein R<sup>1</sup> represents a group selected from 25 unsubstituted furan-2-yl and unsubstituted thien-2-yl..
11. A compound according to any one of the preceding claims wherein R<sup>2</sup> represents a pyrimidinyl or pyridazinyl group which may be optionally substituted by one, two or 30 three substituents selected from group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO<sub>2</sub>R', -C(O)-NR'R'', -N(R'')C(O)-R', -N(R'')- C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.

12. A compound according to claim 11 wherein R<sup>2</sup> represents a pyrimidinyl or pyridazinyl group which may be optionally substituted by a straight or branched optionally substituted lower alkylthio group.

5 13. A compound according to claim 12 wherein R<sup>2</sup> represents an unsubstituted pyrimidin-4-yl or unsubstituted pyridazin-4-yl group.

14. A compound according to any one of the preceding claims wherein R<sup>1</sup> represents a group selected from unsubstituted furan-2-yl and unsubstituted thien-2-yl, R<sup>2</sup> represents an unsubstituted pyrimidin-4-yl or an unsubstituted pyridazin-4-yl and wherein R<sup>3</sup> is selected from the group consisting of pyridine, pyrimidine, pyridazine, isoquinoline, quinoline, naphthyridine and pyridine-2(1H)-one, all of them optionally substituted by a substituent selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, oxo, straight or branched, optionally substituted lower alkoxy, straight or branched optionally substituted lower alkylthio and cyano groups.

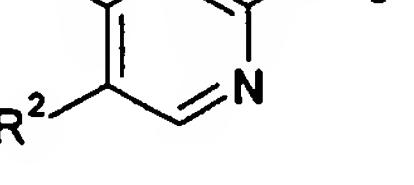
15. A compound according to claim 1 which is one of:

- 4'-(2-furyl)-N-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
- 20 • 4'-(2-furyl)-N-(6-methoxypyridin-3-yl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-pyridin-2-yl-4,5'-bipyrimidin-2'-amine
- N-(6-fluoropyridin-3-yl)-4'-(2-furyl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-(4-methylpyridin-3-yl)-4,5'-bipyrimidin-2'-amine
- N-pyridin-3-yl-4'-thien-2-yl-4,5'-bipyrimidin-2'-amine
- 25 • 4'-(3-fluorophenyl)-N-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
- 4'-(3-fluorophenyl)-N-(6-methoxypyridin-3-yl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-(6-methoxypyridin-3-yl)-2-(methylthio)-4,5'-bipyrimidin-2'-amine
- 4'-(3-fluorophenyl)-2-(methylthio)-N-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
- 4-(2-furyl)-5-pyridazin-4-yl-N-pyridin-3-ylpyrimidin-2-amine
- 30 • 4'-(2-furyl)-N-(1-oxidopyridin-3-yl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-pyrimidin-5-yl-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-(5-methoxypyridin-3-yl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-(6-methylpyridin-3-yl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-pyrazin-2-yl-4,5'-bipyrimidin-2'-amine
- 35 • 5-{[4'-(2-furyl)-4,5'-bipyrimidin-2'-yl]amino}nicotinonitrile

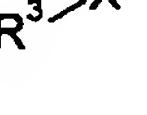
- 4'-(2-furyl)-N-(1-oxidopyrimidin-5-yl)-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-[2-(methylthio)pyrimidin-4-yl]-4,5'-bipyrimidin-2'-amine
- N-[6-(benzyloxy)pyridin-3-yl]-4'-(2-furyl)-4,5'-bipyrimidin-2'-amine
- 5-{{4'-(2-furyl)-4,5'-bipyrimidin-2'-yl}amino}pyridin-2(1*H*)-one
- 5 • 4'-(2-furyl)-N-1,6-naphthyridin-8-yl-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-isoquinolin-4-yl-4,5'-bipyrimidin-2'-amine
- 4'-(2-furyl)-N-quinolin-3-yl-4,5'-bipyrimidin-2'-amine
- 4'-(3-furyl)-N-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
- 4'-(3-furyl)-N-pyrimidin-5-yl-4,5'-bipyrimidin-2'-amine
- 10 • N-pyrimidin-5-yl-4'-(2-thienyl)-4,5'-bipyrimidin-2'-amine
- N-(1-oxidopyridin-3-yl)-4'-(2-thienyl)-4,5'-bipyrimidin-2'-amine
- 5-pyridazin-4-yl-N-pyridin-3-yl-4-(2-thienyl)pyrimidin-2-amine
- 4-(2-furyl)-5-pyridazin-4-yl-N-pyrimidin-5-ylpyrimidin-2-amine.
  

15 16. A process for producing a compound of formula I as defined in any one of claims 1 to 15, wherein a compound of formula (IX) where R<sup>1</sup> and R<sup>2</sup> are as hereinbefore defined is coupled with a compound of formula (III) where R<sup>3</sup> is as hereinbefore defined and X is halogen, preferably bromine, iodine or chlorine

20



(IX)

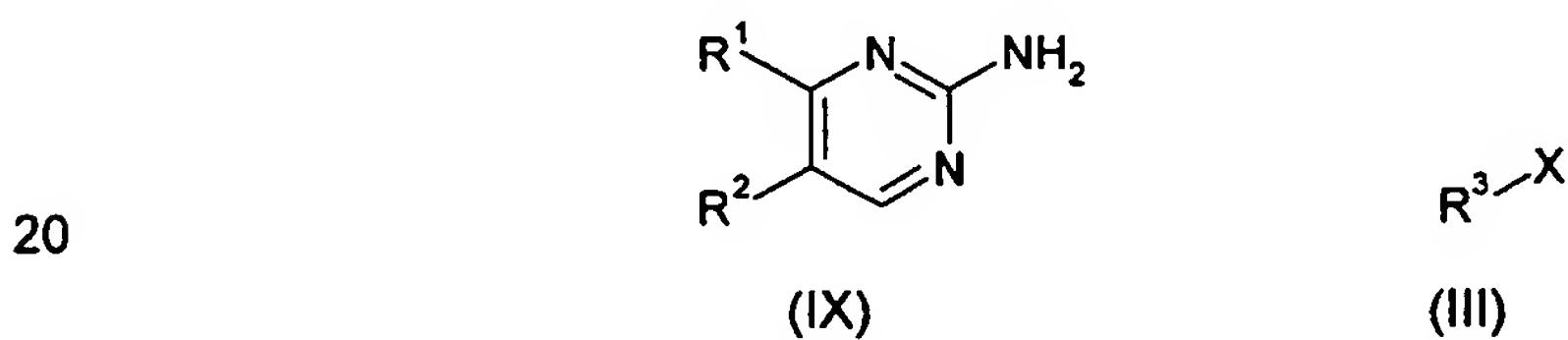


(III)

25 17. A compound according to any one of claims 1 to 15 for use in the treatment of a pathological condition or disease susceptible to amelioration by antagonism of the adenosine A<sub>2B</sub> receptor.

30 18. A pharmaceutical composition comprising a compound as defined in any one of claims 1 to 15 in admixture with a pharmaceutically acceptable diluent or carrier.

19. Use of a compound as defined in any one of claims 1 to 15 in the manufacture of a medicament for the treatment of a pathological condition or disease susceptible of being improved by antagonism of the A<sub>2B</sub> adenosine receptor.



17. A compound according to any one of claims 1 to 15 for use in the treatment of a pathological condition or disease susceptible to amelioration by antagonism of the adenosine A<sub>2B</sub> receptor.

18. A pharmaceutical composition comprising a compound as defined in any one of claims 1 to 15 in admixture with a pharmaceutically acceptable diluent or carrier.

30 19. Use of a compound as defined in any one of claims 1 to 15 in the manufacture of a  
medicament for the treatment of a pathological condition or disease susceptible of  
being improved by antagonism of the A<sub>2B</sub> adenosine receptor.

20. Use according to claim 19, wherein the pathological condition or disease is asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and/or autoimmune diseases.

5

21. A method for treating a subject afflicted with a pathological condition or disease susceptible to amelioration by antagonism of the A<sub>2B</sub> adenosine receptor, which comprises administering to said subject an effective amount of a compound as defined in any one of claims 1 to 15.

10

22. A method according to claim 21, wherein the pathological condition or disease is asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and/or autoimmune diseases.

15